

Requester's Full Name: Jeffrey E. Russel Examiner #: 62785 Date: 6-10-2005
 Art Unit: 1654 Phone Number: 2-0969 Serial Number: 10/666 095
 Location (Bldg/Room#): 8EM 3DM (Mailbox #): 3C18 Results Format Preferred (circle): PAPER DISK

To ensure an efficient and quality search, please attach a copy of the cover sheet, claims, and abstract or fill out the following:

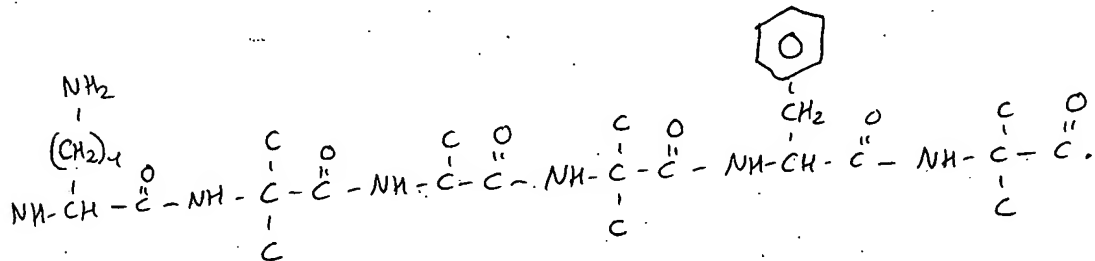
Title of Invention: Anti-fibril peptides
Inventors (please provide full names): R. Hammer, Y. Fu, J. Arcain, T. Miller, M. McLagley, M. R. McColey
Earliest Priority Date: 9-18-2003

Search Topic:

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Please provide a detailed statement of the search topic, and describe as specifically as possible the subject matter to be searched. Include the elected species or structures, keywords, synonyms, acronyms, and registry numbers, and combine with the concept or utility of the invention. Define any terms that may have a special meaning. Give examples or relevant citations, authors, etc., if known.

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Online Time: _____	_____ Other		

=> d ibib abs hitstr l13 1-3

L13 ANSWER 1 OF 3 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2005:474923 HCAPLUS

DOCUMENT NUMBER: 143:20040

TITLE: Anti-fibril peptides

INVENTOR(S): Hammer, Robert P.; Fu, Yanwen; Aucoin, Jed P.; Miller, Tod J.; McLaughlin, Mark L.; McCarley, Robin L.

PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 28 pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2005119187	A1	20050602	US 2003-666095	20030918
PRIORITY APPLN. INFO.:			US 2002-412081P	P 20020919

AB Short peptides containing C α -dipropylglycine (Dpg) at alternating sequence positions were synthesized and examined for conformational behavior. Peptide assembly was performed using Fmoc-solid-phase chemical where the coupling with PyAOP could be significantly enhanced at elevated temperature CD (CD) and NMR conformational studies revealed that incorporation of Dpg residues induced folded structures into peptides. It was observed that Dpg residues adopted helical conformation in a helix-promoting sequence. The resulting helical structure was comprised of consecutive β -turns whose structure was stabilized by salt bridge in aqueous solution. In this study, the preparation of sterically and polyfunctional C α -disubstituted amino acids (α AAs) via alkylation of Et nitroacetate and transformation into derivs. ready for incorporation into peptides are described. Treatment of Et nitroacetate with N,N-diisopropylethylamine in the presence of a catalytic amount of tetraalkylammonium salt, followed by the addition of an activated alkyl halide or Michael acceptor, gave the doubly C-alkylated product in good to excellent yields. Selective nitro reduction with Zn in acetic or hydrogen over Raney Ni gave the corresponding amino ester that, upon saponification, can be

protected with the fluorenylmethyloxycarbonyl (Fmoc) group. The synthesis of a sterically demanding C α -dibenzylglycine (Dbzg), and an orthogonally protected, tetrafunctional C α -disubstituted analog of aspartic acid Bcmg is described. The preparation of amyloid fibril blocker peptides based on amyloid peptide hydrophobic core A β 16-20 is described.

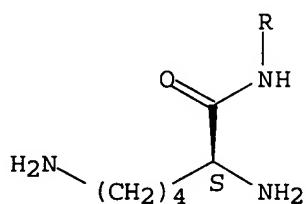
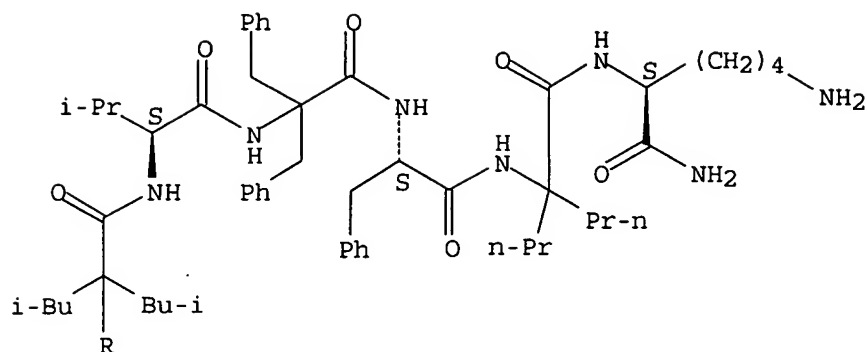
IT 397298-97-4P 642471-66-7P 852626-99-4P
852627-00-0P

RL: BSU (Biological study, unclassified); PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(anti-fibril peptides)

RN 397298-97-4 HCAPLUS

CN L-Lysinamide, L-lysyl-2-(2-methylpropyl)leucyl-L-valyl- α -(phenylmethyl)phenylalanyl-L-phenylalanyl-2-propylnorvalyl-L-lysyl-L-lysyl-L-lysyl-L-lysyl-L-lysyl- (9CI) (CA INDEX NAME)

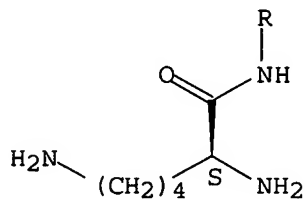
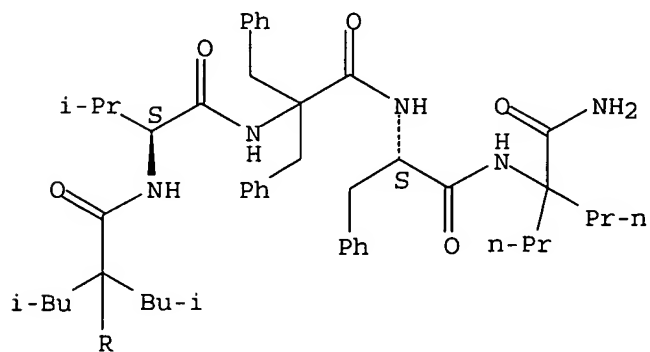
Absolute stereochemistry.



RN 852627-00-0 HCAPLUS

CN Norvalinamide, L-lysyl-2-(2-methylpropyl)leucyl-L-valyl- α -(phenylmethyl)phenylalanyl-L-phenylalanyl-2-propyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L13 ANSWER 2 OF 3 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2003:893139 HCAPLUS

DOCUMENT NUMBER: 140:94278

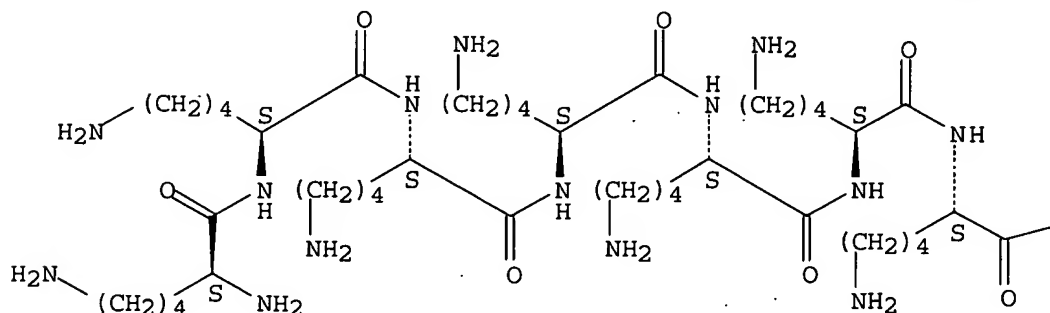
TITLE: Facile Synthesis of α,α -Diisobutylylglycine
and Anchoring its Derivatives onto PAL-PEG-PS Resin
AUTHOR(S): Fu, Yanwen; Etienne, Marcus A.; Hammer, Robert P.
CORPORATE SOURCE: Department of Chemistry, Louisiana State University,
Baton Rouge, LA, 70803, USA
SOURCE: Journal of Organic Chemistry (2003), 68(25), 9854-9857
CODEN: JOCEAH; ISSN: 0022-3263
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English

AB α,α -Diisobutylylglycine (Dibg) was synthesized using a
Pd-mediated dialkylation of Et nitroacetate as a key first step. The free
 α,α -diisobutylylglycine was N α -protected and was applied
to solid-phase synthesis of a conformationally constrained peptide. Thus,
peptide H-(Lys)7-Dibg-Val-Dbzg-Phe-Dpg-NH₂ (Dbzg = α,α -
dibenzylglycine, Dpg = α,α -dipropylglycine) was obtained in
superior quality by using a trialkoxybenzyl linker on PEG-PS grafted
support, to which Fmoc-Dpg-OH was attached by a mixed anhydride method.

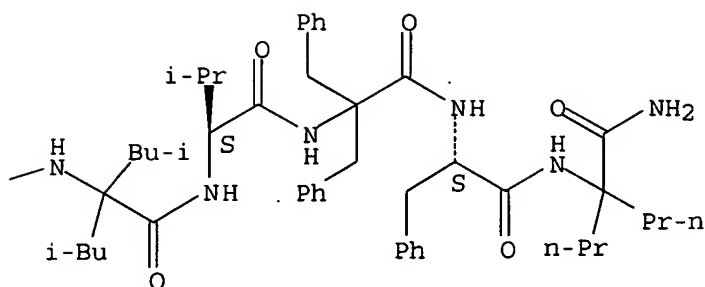
IT 642471-66-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(alkylation of nitroacetate for preparation of (diisobutyl)glycine and its
use in peptide synthesis using PAL-PEG-PS as a solid support)
RN 642471-66-7 HCAPLUS
CN Norvalinamide, L-lysyl-L-lysyl-L-lysyl-L-lysyl-L-lysyl-L-lysyl-L-lysyl-2-
(2-methylpropyl)leucyl-L-valyl- α -(phenylmethyl)phenylalanyl-L-
phenylalanyl-2-propyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



REFERENCE COUNT: 31 THERE ARE 31 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 3 OF 3 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2001:924458 HCAPLUS

DOCUMENT NUMBER: 136:167687

TITLE: Efficient acylation of the N-terminus of highly hindered α,α -disubstituted amino acids via amino acid symmetrical anhydrides

AUTHOR(S): Fu, Yanwen; Hammer, Robert P.

CORPORATE SOURCE: Department of Chemistry, Louisiana State University, Baton Rouge, LA, 70803, USA

SOURCE: Organic Letters (2002), 4(2), 237-240

CODEN: ORLEF7; ISSN: 1523-7060

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 136:167687

AB Fmoc (Fmoc = 9-fluorenylmethyloxycarbonyl) amino acid sym. anhydrides are efficient and readily available reagents for acylation of the N-terminus of highly hindered α,α -dialkylated α -amino acids. Comparison of a variety of coupling protocols showed that the sym. anhydride method always provided the superior results. This method was successfully applied to the solid-phase synthesis of a peptide containing three $\alpha\alpha$ AAs at alternating positions.

IT 397298-97-4P

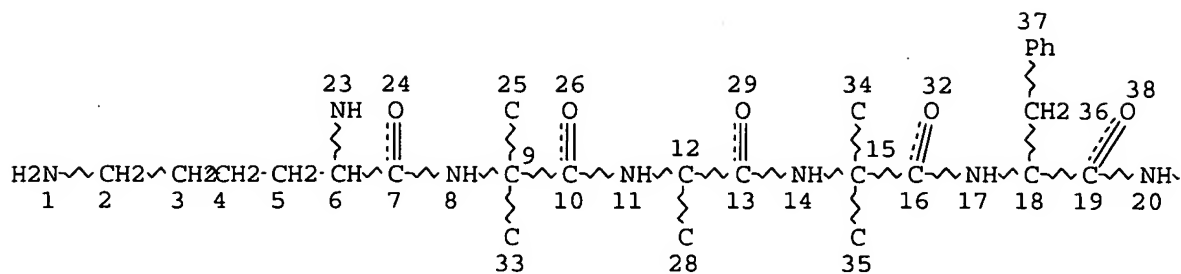
RL: SPN (Synthetic preparation); PREP (Preparation)
(acylation of dialkylated amino acids via amino acid sym. anhydrides and application of this method to solid phase synthesis of peptide)

RN 397298-97-4 HCAPLUS

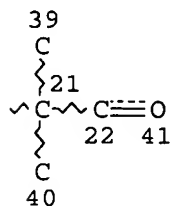
CN L-Lysinamide, L-lysyl-2-(2-methylpropyl)leucyl-L-valyl- α -(phenylmethyl)phenylalanyl-L-phenylalanyl-2-propylnorvalyl-L-lysyl-L-lysyl-L-lysyl-L-lysyl-L-lysyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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L10 STR



Page 1-A



Page 1-B

NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 38

STEREO ATTRIBUTES: NONE
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L13 3 SEA FILE=HCAPLUS ABB=ON L12

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FILE 'HCAPLUS' ENTERED AT 16:58:28 ON 19 JUL 2005

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E FU YANWEN/AU
L2 11 SEA ABB=ON ("FU YANWAN"/AU OR "FU YANWEN"/AU)
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E MILLER TOD J/AU
L4 12 SEA ABB=ON ("MILLER TOD J"/AU OR "MILLER TOD JEFFREY"/AU)
E MCLAUGHLIN MARK L/AU
L5 127 SEA ABB=ON ("MCLAUGHLIN MARK"/AU OR "MCLAUGHLIN MARK L"/AU OR
"MCLAUGHLIN MARK LEE"/AU)
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L7 1 SEA ABB=ON L1 AND L2 AND L3 AND L4 AND L5 AND L6
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L12 4 SEA SSS FUL L10

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